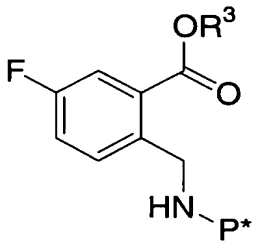
TITLE OF THE INVENTION
THE PREPARATION OF 2-AMINOMETHYL-5-FLUOROBENZAMIDES

ABSTRACT OF THE DISCLOSURE

Benzamide compounds of Formula VII are prepared by reacting a benzoate compound of Formula V with an amine to obtain a benzamide compound of Formula VI, and then treating the benzamide VI with an amine deprotecting agent to obtain the benzamide VII; wherein R^1 and R^2 are each independently H, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, or substituted aryl; R^3 is alkyl, -alkylaryl, or aryl; and P* is an amino protective group.



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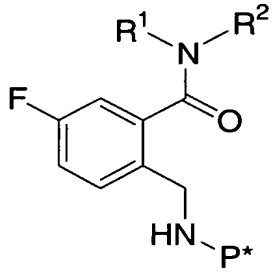

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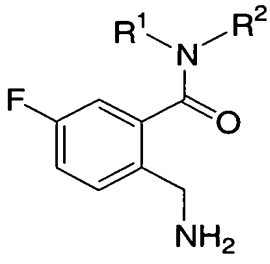

(VII)

Embodiments of this preparative method include one or more of the following additional steps: obtaining the benzoate V having the N-protected ortho-aminomethyl substituent by treating the corresponding benzoate IV having a free aminomethyl substituent with an amine protecting agent, hydrogenating an ortho-cyanobenzoate III (also referred to as benzonitrile III) to obtain the benzoate IV, cyanating an ortho-halobenzoate II to obtain benzonitrile III, and esterifying an ortho-halobenzoic acid I to obtain ortho-halobenzoate II. The benzamides are Formula VII are useful as intermediates in the preparation of HIV integrase inhibitors.